REMARKS

Claims 2, 8, 9, 16, 17 and 18 are pending in this application. Claims 2-7 and 10-15 have been canceled. Claim 18 has been added. Claims 1, 8, 9, 16 and 17 have been amended to particularly and distinctly recite the claimed invention. No new subject matter has been added by the claim amendments. As such, Applicants respectfully request that the above claim amendments be entered and that the claims be allowed.

Rejections under 35 USC 112, second paragraph

The Examiner rejects claim 9 as indefinite. Applicants amend Formula I of claim 9 to exclude the indefinite language. As such, the rejection is moot and should be withdrawn.

Rejection Maintained under 35 USC 102(b)

The Examiner rejects claims 1-3, 5-7, 9, 10, 13, 14, 16 and 17 as anticipated by the Andrasi patents. Applicants cancel claims 2-7 and 10-15, therefore the rejection is moot to these claims. As for claims 1, 9, 16 and 17, Applicants submit that the newly amended compound claim is distinguished from the generic formula of the Andrasi patents. Thus, the rejection should be withdrawn.

Rejections under 35 USC 103(a)

The Examiner rejects claims 1, 5-9, 13, 14, 16 and 17 as obvious over WO '283. The Examiner states that WO '283 makes the present invention obvious where R^1 is $CO(CH_2)_p$-R^6, when p is 1 or 2 and R_6 is halogen or alkoxy. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants submit that the pending claims are neither disclosed nor suggested by the cited art. Moreover, amended claim 1 no longer recites the claim limitations which overlapped with the compounds in the cited references. Claim 1, the compound claim encompasses examples 15, 16, 17, 19, 46, 59, 60 and 62 in the specification. Please see the comparative test data in the specification which demonstrates that the compound of formula I as recited in claim 1 has unexpected superior results over the reference compound A, e.g. 8-methyl-5-(4-aminophenyl)-9H-1,3-dioxolo[4,5-h]-[2,3]benzodiazepine. As such, Applicants respectfully request that the rejection be withdrawn.

The Examiner also rejects claims 1-3, 5-7, 9, 10, 13, 14, 16 and 17 as obvious over the Andrasi patents. The Examiner states that the Andrasi patents make the present invention obvious where R^1 is $CO(CH_2)_m$-R, when R is halogen. Applicants traverse the rejection and respectfully request the withdrawal thereof.

Applicants again submit that this rejection is moot as to claims claims 2-7 and 10-15, which have been canceled. Regarding

claims 1, 9, 16 and 17, Applicants rely on the comments above. As such, this rejection should also be withdrawn.

Conclusion

As Applicants have addressed and overcome all rejections in the Office Action, Applicants respectfully request that the rejections be withdrawn and that the claims be allowed.

Should there be any outstanding matters that need to be resolved in the present application, the Examiner is respectfully requested to contact Kecia Reynolds (Reg. No. 47,021) at the telephone number of the undersigned below, to conduct an interview in an effort to expedite prosecution in connection with the present application.

Attached hereto is a marked-up version of the changes made to the application by this Amendment.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. §§ 1.16 or 1.17; particularly, extension of time fees.

Respectfully submitted,

BIRCH, STEWART, KOLASCH & BIRCH, LLP

By Kevin J Byrne #47,021
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MSW/KJR/jao
1060-0136P

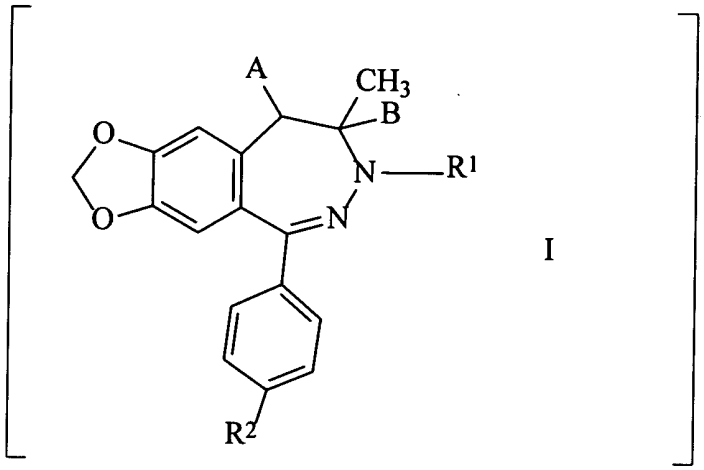
Attachment: Version with Markings to Show Changes Made

(Rev. 02/20/02)

VERSION WITH MARKINGS TO SHOW CHANGES MADE

IN THE CLAIMS

1. (Thrice Amended) A 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I



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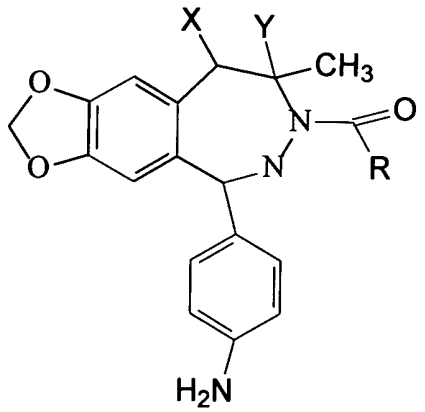

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wherein

[A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is

optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula $-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido

group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-4} alkyl group, and

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-14} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

5) R is other than a chlorine atom; and with the further proviso that

6) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group,]

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein

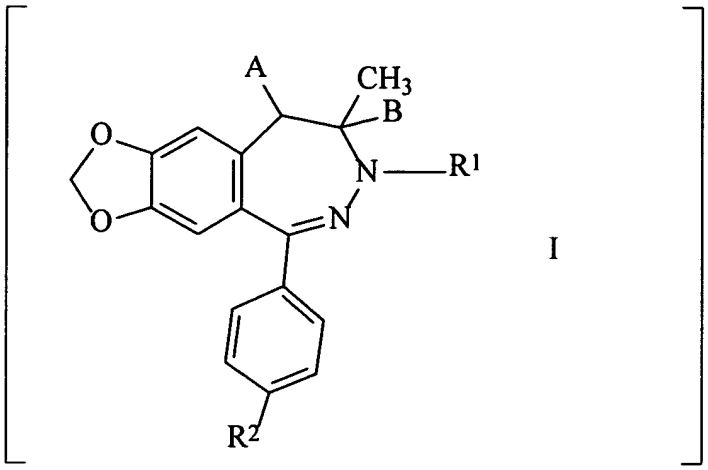
n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3, wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent;

and pharmaceutically suitable acid addition salts thereof.

8. (Twice Amended) A process for the preparation of a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine compound of the formula I,



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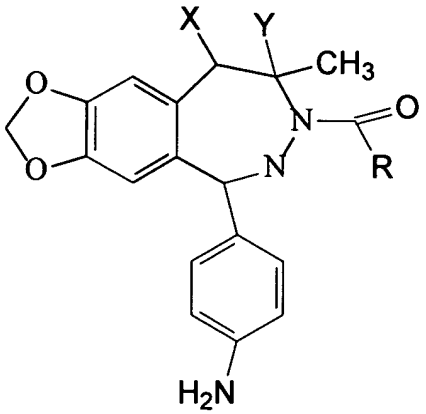

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wherein [R^1 and R^2 are as defined in Claim 1, and pharmaceutically suitable acid addition salts thereof,]

X and Y each stand for hydrogen or together form a double bond;

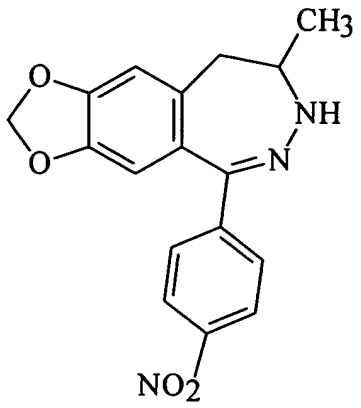
R is a group of the formula $-(CH_2)_n-R^1-$, wherein

n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3, wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent; with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent; and pharmaceutically suitable acid addition salts thereof;

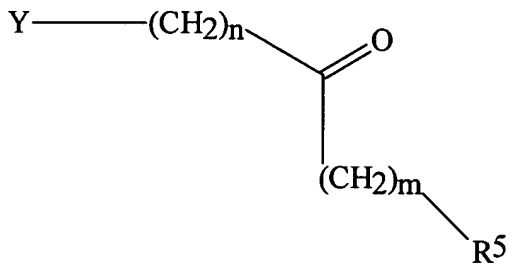
characterized in that

a) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for a halo atom or a pyridyl group, n has a value of 0, 1 or 2, m has a value of 0, 1 or 2, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III



III

is reacted with a reagent of the formula VI



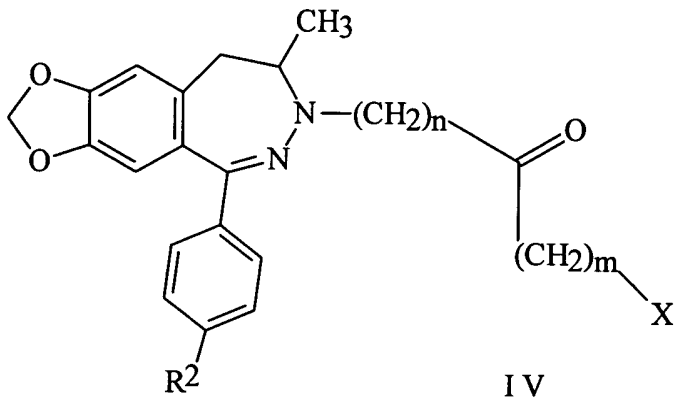
VI

wherein Y represents a leaving group, R^5 is a halo atom or a pyridyl group; or

b) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$, wherein R stands for an imidazolyl group, n has a value of 0, m has a value of 0, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with 1,1'-carbonyldiimidazole; or

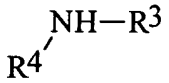
c) for the preparation of a compound of the formula I, wherein R^1 represents a group of the formula $-(CH_2)_n-CO-(CH_2)_m-R$,

wherein R stands for a group of the formula $-NR^3R^4$, wherein R^3, R^4, n and m are as defined in Claim 1, R^2 means a nitro group, A and B represent a hydrogen atom, the 7,8-dihydro-8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula III is reacted with a reagent of the formula VI, wherein Y and R^5 represent, independently, a leaving group, n and m are as stated above, and the obtained benzodiazepine compound of the formula IV



IV

wherein X stand for a leaving group, n and m are as stated above, is reacted with an amine of the formula VII

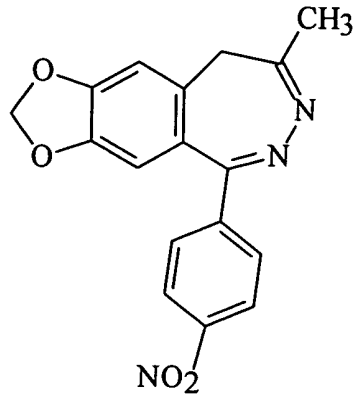


VII

wherein R^3 and R^4 are as stated above; or

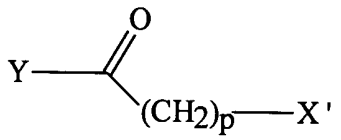
d) for the preparation of a compound of the formula I, wherein R^1 stands for a group of the formula $-CO-(CH_2)_p-R^6$, wherein R^6 represents a halo atom, a phenoxy group or a C_{1-4} alkoxy group, p has a value of 0, 1 or 2, A forms together with B a valence bond,

R^2 means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II



II

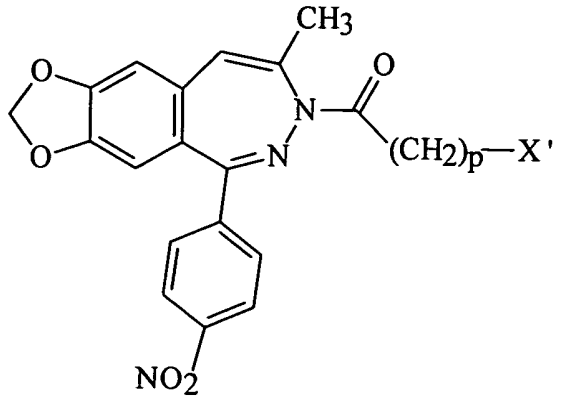
is reacted with an acylating agent of the formula IX



IX

wherein Y represents a leaving group, X' stands for a halo atom, a phenoxy group or a C_{1-4} alkoxy group, p has a value of 0, 1 or 2; or

e) for the preparation of a compound of the formula I, wherein R^1 stands for a group of the formula $-CO-(CH_2)_p-R^6$, wherein R^6 represents a group of the formula $-NR^7R^8$, wherein R^7, R^8 and p are as defined in Claim 1, A forms together with B a valence bond, R^2 means a nitro group, the 8-methyl-5-(4-nitrophenyl)-9H-1,3-dioxolo[4,5-h][2,3]benzodiazepine of the formula II is reacted with an acylating agent of the formula IX, wherein each of Y and X' represents, independently, a leaving group, p is as stated above, and the obtained acylated compound of the formula VIII



VIII

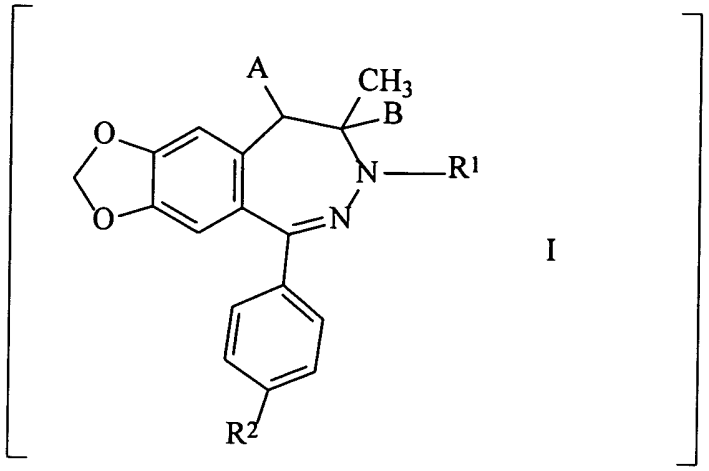
wherein X' and p are as defined above, is reacted with an amine of the formula HNR^7R^8, wherein R^7 and R^8 are as stated above;

and, optionally the compound of the formula I, wherein R^2 represents a nitro group, R^1, A and B are as defined in Claim 1, is transformed into a compound of the formula I, wherein R^2 stands for an amino group, by reduction;

and, optionally the compound of the formula I, wherein R^2 represents an amino group, R^1, A and B are as defined in Claim 1, is reacted with a C_{1-4} alkanecarboxylic acid or a reactive acylating salt thereof;

and, optionally, a base of the formula I is converted to a pharmaceutically suitable acid addition salt or liberated from the acid addition salt.

9. (Three times Amended) A pharmaceutical composition comprising a [1,3-dioxolo-[4,5-h][2,3]benzodiazepine] compound of the formula I



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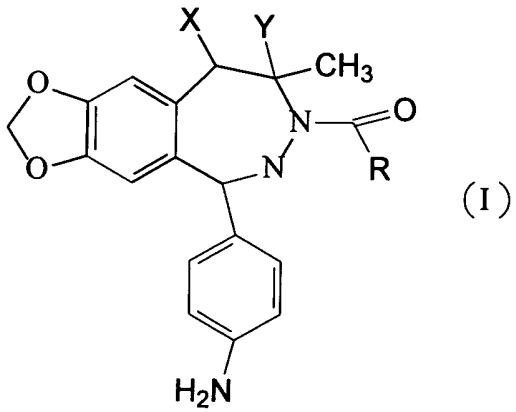

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wherein

[A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group which is optionally substituted, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as

the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group, p

has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-4} alkyl group,

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-14} alkyl group, and

5) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group,]

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein

n is 0, 1 or 2 and

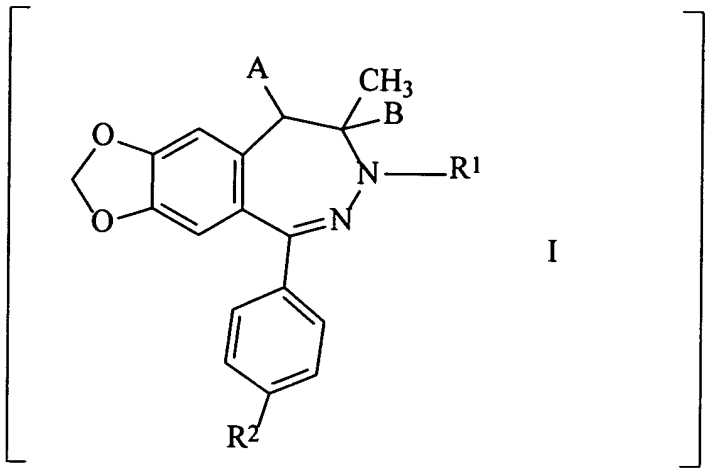
R^1 is halogen or a group of the formula NR^2R^3, wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally

substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent,

or a pharmaceutically suitable acid addition salt thereof as the active ingredient and one or more conventional carrier(s).

16. (Four Times Amended) A method of treatment in which a patient suffering from epilepsy or being in a state after stroke is treated with a non-toxic dose of [a 1,3-dioxolo-[4,5-h][2,3]benzodiazepine] the compound of [the] formula I,



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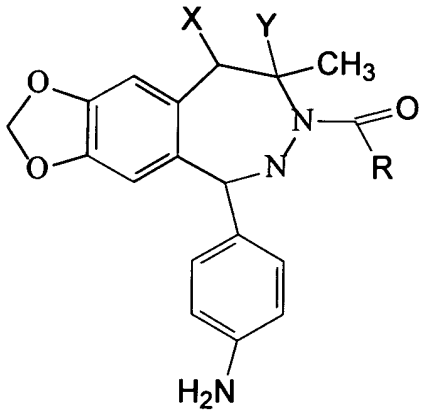

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wherein

[A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different

substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and

R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-14} alkyl group,

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-14} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

5) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group, and

6) R is other than a chlorine atom;]

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein

n is 0, 1 or 2 and

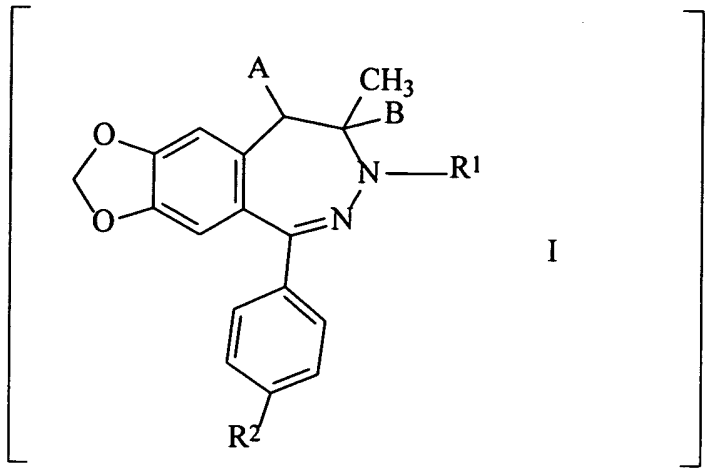
R^1 is halogen or a group of the formula NR^2R^3, wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic

ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent;

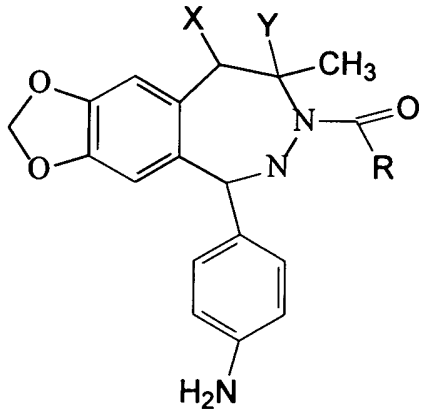
with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group sutstituent;

or a pharmaceutically suitable acid addition salt thereof.

17. (Four Times Amended) A process for preparing a pharmaceutical composition suitable for the treatment of epilepsy or a state after stroke, characterized in that a [1,3-dioxolo-[4,5-h][2,3]benzodiazepine] compound of the formula I,



I



(I)

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wherein

[A represents a hydrogen atom,

B means a hydrogen atom,

R^1 stands for a group of the formula

$-(CH_2)_n-CO-(CH_2)_m-R$, wherein

R represents a halo atom, a pyridyl group or a group of the formula $-NR^3R^4$, wherein

R^3 and R^4 mean, independently, a hydrogen atom, a C_{3-6} cycloalkyl group, a C_{1-4} alkoxy group, an amino group, a phenyl group optionally substituted by one or two C_{1-4} alkyl group(s), a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising 1 to 3 nitrogen atom(s) or a nitrogen atom and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by a phenyl group which latter is optionally substituted by 1 to 3 substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^3 and R^4 form, with the adjacent nitrogen atom and optionally with a further nitrogen atom or an oxygen atom, a saturated or unsaturated heterocyclic group having 5 or 6 members, being optionally substituted by a phenyl group that is optionally substituted by 1 to 3 substituents, wherein the substituent is a C_{1-4} alkoxy group,

n has a value of 0, 1 or 2,

m has a value of 0, 1 or 2, or

A forms together with B a valence bond between the carbon atoms in positions 8 and 9, and in this case

R^1 represents a group of the formula

$-CO-(CH_2)_p-R^6$, wherein

R^6 stands for a halo atom, a phenoxy group, a C_{1-4} alkoxy group or a group of the formula $-NR^7R^8$, wherein

R^7 and R^8 mean, independently, a hydrogen atom, a guanyl group, a C_{3-6} cycloalkyl group or a C_{1-4} alkyl group which latter is optionally substituted by a phenyl group or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, wherein the phenyl group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a C_{1-4} alkoxy group, or

R^7 and R^8 form together with the adjacent nitrogen atom, an oxopyrrolidinyl group, a phthalimido group, or a saturated heterocyclic group having 5 or 6 members and comprising one or more nitrogen atom(s) or a nitrogen and an oxygen atom as the heteroatom, and said heterocyclic group is optionally substituted by 1 to 3 identical or different

substituent(s) selected from the group consisting of a hydroxy group, a phenyl group, a phenoxy group, a phenyl(C_{1-4} alkyl) group or a phenoxy(C_{1-4} alkyl) group, wherein in case of the substituents listed the phenyl or phenoxy group is optionally substituted by 1 to 3 identical or different substituent(s), wherein the substituent is a halo atom or a C_{1-4} alkoxy group, and, in case of the phenoxy(C_{1-4} alkyl) group, the alkyl group is optionally substituted by 1 or 2 hydroxy group(s),

p has a value of 0, 1 or 2,

R^2 stands for a nitro group, an amino group or a (C_{1-4} alkanoyl)amino group, with the proviso that

1) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group and p has a value of 0, then R^6 is different from a C_{1-4} alkoxy group,

2) if A forms together with B a valence bond, R^2 stands for a nitro group or an amino group, p has a value of 0 or 1, and R^6 represents a group of the formula $-NR^7R^8$, then one of R^7 and

R^8 is different from a hydrogen atom or a C_{1-4} alkyl group,

3) if each of A and B stands for a hydrogen atom, n and m have a value of 0, then one of R^3 and R^4 represents a hydrogen atom, and the other of R^3 and R^4 is different from a hydrogen atom, a phenyl group or a C_{1-14} alkyl group,

4) if each of A and B stands for a hydrogen atom, n has a value of 0, m has a value of 1 or 2, and one of R^3 and R^4 stands for a hydrogen atom or a C_{1-4} alkyl group, then the other of R^3 and R^4 is different from a hydrogen atom or a C_{1-4} alkyl group,

5) R is other than a chlorine atom; and with the further proviso that

6) R^3 and R^4 cannot form with the adjacent nitrogen atom a pyrrolidine group,]

X and Y each stand for hydrogen or together form a double bond;

R is a group of the formula $-(CH_2)_n-R^1-$, wherein

n is 0, 1 or 2 and

R^1 is halogen or a group of the formula NR^2R^3, wherein R^2 and R^3 independently represent hydrogen, C_{1-4} alkoxy, C_{3-6} cycloalkyl or C_{1-4} alkyl optionally

substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

with the proviso that if X and Y together form a double bond, then n is 1 or 2; or n is 0 and one of R^2 and R^3 is hydrogen and the other is C_{1-4} alkyl optionally substituted with a 5 to 6 membered saturated heterocyclic ring, which contains one nitrogen, or one nitrogen and one oxygen atom and may optionally have an oxo group substituent;

or a pharmaceutically suitable acid addition salt thereof, together with one or more conventional carrier(s), is converted to a pharmaceutical composition.

Claim 18 is added.